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 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  NOV 21  CAS patent coverage to include exemplified prophetic
                  substances identified in English-, French-, German-,
                  and Japanese-language basic patents from 2004-present
 NEWS  3  NOV 26  MARPAT enhanced with FSORT command
 NEWS  4  NOV 26  CHEMSAFE now available on STN Easy
 NEWS  5  NOV 26  Two new SET commands increase convenience of STN
                  searching
 NEWS  6  DEC 01  ChemPort single article sales feature unavailable
 NEWS  7  DEC 12  GBFULL now offers single source for full-text
                  coverage of complete UK patent families
 NEWS  8  DEC 17  Fifty-one pharmaceutical ingredients added to PS
 NEWS  9  JAN 06  The retention policy for unread STNmail messages
                  will change in 2009 for STN-Columbus and STN-Tokyo
 NEWS 10  JAN 07  WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                  Classification Data

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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FILE 'HOME' ENTERED AT 09:49:04 ON 21 JAN 2009

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.22       0.22

FILE 'REGISTRY' ENTERED AT 09:49:16 ON 21 JAN 2009
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STRUCTURE FILE UPDATES:  20 JAN 2009  HIGHEST RN 1094597-78-0
DICTIONARY FILE UPDATES:  20 JAN 2009  HIGHEST RN 1094597-78-0

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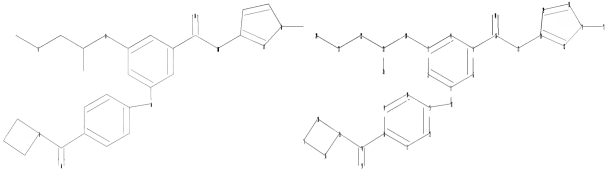
=>
Uploading C:\Program Files\STNEXP\Queries\10588334 elected.str



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13  14  19  20  21  22  23  24  25  26  27  33  34
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  15  16  17  18  28  29  30  31  32
chain bonds :
1-13  3-20  5-26  8-14  11-13  14-15  14-19  20-21  21-22  21-25  22-23  23-24
26-27  26-34  27-28  31-33
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  15-16  15-18
16-17  17-18  28-29  28-32  29-30  30-31  31-32
exact/norm bonds :
1-13  3-20  11-13  14-15  14-19  15-16  15-18  16-17  17-18  20-21  22-23  23-24
26-27  26-34  27-28  28-29  28-32  29-30  30-31  31-32  31-33
exact bonds :
5-26  8-14  21-22  21-25
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12

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Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  14:CLASS  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS
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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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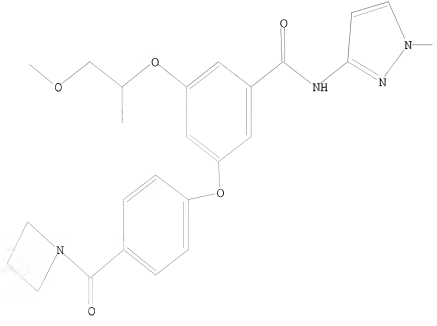


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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED         9 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 sss ful
FULL SEARCH INITIATED 09:49:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      121 TO ITERATE

100.0% PROCESSED      121 ITERATIONS                                 9 ANSWERS
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SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> fil cap
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 185.88 186.10

FILE 'CAPLUS' ENTERED AT 09:49:55 ON 21 JAN 2009
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FILE COVERS 1907 - 21 Jan 2009 VOL 150 ISS 4
FILE LAST UPDATED: 20 Jan 2009 (20090120/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

=> d l3
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

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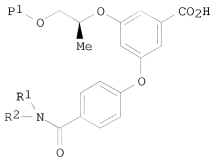
L4 4 L3

=> d l4 1-4 abs ibib hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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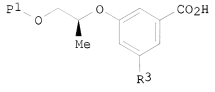

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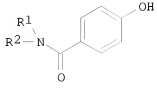

III

AB The present invention relates to an improved process for preparing I [R1 and R2 independently = H, alkyl; R1 and R2 may join together with N to form a 4- to 7-membered heterocyclyl ring; P1 = H or hydroxy protecting group], which are useful as intermediates to compds. which activate glucokinase. The method involves substitution of II [R3 = halo] with III to provide I in the presence of copper catalysts containing 2,2,6,6-tetramethylheptane-3,5-dione (TMHD) ligand. An alternative preparation of I via hydrolysis of corresponding ester is provided. I could be further reacted with (un)substituted 5 to 6-membered heterocyclic amine derivs. to generate corresponding amides by amidation. Thus, e.g., substitution of 3-bromo-5-((1S)-2-tert-butoxy-1-methylethoxy)benzoic acid (preparation given) with 4-(azetidin-1-ylcarbonyl)phenol (preparation given) using copper iodide as catalyst and TMHD as ligand gives desired intermediate 3-[4-(azetidin-1-ylcarbonyl)phenoxy]-5-[(1S)-2-tert-butoxy-1-methylethoxy]benzoic acid, which could further react with 1-methyl-3-aminopyrazole followed by hydrolysis to provide 3-[4-(azetidin-1-ylcarbonyl)phenoxy]-5-((1S)-2-hydroxy-1-methylethoxy)-N-(1-methyl-1H-pyrazol-3-yl)benzamide.

ACCESSION NUMBER: 2007:593421 CAPLUS
DOCUMENT NUMBER: 147:30824
TITLE: Method for preparing benzoic acid derivatives via substitution of haloalkoxybenzoic acid with aminocarbonylphenol utilizing copper catalysts containing 2,2,6,6-tetramethylheptane-3,5-dione ligand
INVENTOR(S): Hopes, Phillip Anthony; Parker, Jeremy Stephen; Patel, Bharti; Welham, Matthew James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 29pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

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| WO 2007060448 | A2 | 20070531 | WO 2006-GB4399 | 20061127 | |
| WO 2007060448 | A3 | 20080410 | | | |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| AU 2006318889 | A1 | 20070531 | AU 2006-318889 | 20061127 | |
| CA 2629995 | A1 | 20070531 | CA 2006-2629995 | 20061127 | |
| EP 1960354 | A2 | 20080827 | EP 2006-808668 | 20061127 | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | | |
| CN 101316815 | A | 20081203 | CN 2006-80044216 | 20080526 | |
| MX 200806788 | A | 20080604 | MX 2008-6788 | 20080527 | |
| IN 2008DN04513 | A | 20080815 | IN 2008-DN4513 | 20080527 | |
| US 20080300412 | A1 | 20081204 | US 2008-95101 | 20080527 | |
| KR 2008072738 | A | 20080806 | KR 2008-715241 | 20080623 | |
| PRIORITY APPLN. INFO.: | | | US 2005-740042P | P 20051128 | |
| | | | WO 2006-GB4399 | W 20061127 | |

OTHER SOURCE(S): MARPAT 147:30824

IT 937842-59-6P

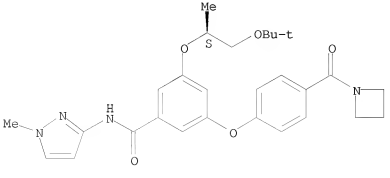
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl amide using intermediate aminocarbonylphenoxyalkoxybenzoic acid with heterocyclic amine derivs. by amidation)

RN 937842-59-6 CAPLUS

CN Benzamide, 3-[4-(1-azetidinylcarbonyl)phenoxy]-5-[(1S)-2-(1,1-dimethylethoxy)-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = fluoromethoxymethyl, difluoromethoxymethyl or trifluoromethoxymethyl; R2 = -C(O)NR4R5, -SO2NR4R5, -S(O)pR4, etc.; Het-1 = 5- or 6-membered, C-linked heteroaryl ring containing a nitrogen atom in the 2-position and optionally 1 or 2 further ring heteroatoms selected from O, N and S, which ring is optionally substituted on an available carbon atom, or on a ring nitrogen atom provided it is not thereby quaternized, with 1 or 2 substituents selected from R6; R3 = halo; R4 = H, alkyl [optionally substituted by 1 or 2 substituents selected from -OR5, -SO2R5, cycloalkyl (optionally substituted by R7)], etc.; R5 = H, alkyl; R4 and R5 together with the nitrogen atom to which they are attached may form a heterocycle; R6 = alkyl, hydroxyalkyl, alkocyalkyl, etc.; R7 = alkyl, -C(O)alkyl, alkoxyalkyl, etc.; p = 0-2; n = 0-2] or their salts were prepared For example, reaction of 3-([(1S)-2-[(difluoromethyl)oxy]-1-methylethyl]oxy)-5-hydroxy-N-(1-methyl-1H-pyrazol-3-yl)benzamide, e.g., prepared from Me 3,5-dihydroxybenzoate in 9 steps, with 1-(3-chloro-4-fluorobenzoyl)azetidine afforded compound II. Compds. of the invention generally activated glucokinase with an EC50 of less than about 500 nM, e.g., compound II exhibited the EC50 value of 40 nM.

ACCESSION NUMBER: 2007:61636 CAPLUS
DOCUMENT NUMBER: 146:142648
TITLE: Preparation of heteroaryl benzamide derivatives as glucokinase activators for the treatment of diabetes
INVENTOR(S): McKerrecher, Darren; Pike, Kurt Gordon; Waring, Michael James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 62pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007007042 | A1 | 20070118 | WO 2006-GB2472 | 20060603 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| JP 2009500444 | T | 20090108 | JP 2008-520937 | 20060703 |
| IN 2007DN10164 | A | 20080620 | IN 2007-DN10164 | 20071228 |
| CN 101218230 | A | 20080709 | CN 2006-80024889 | 20080108 |
| US 20080234273 | A1 | 20080925 | US 2008-995079 | 20080606 |

PRIORITY APPLN. INFO.: GB 2005-14174 A 20050709
GB 2005-16298 A 20050809
WO 2006-GB2472 W 20060603

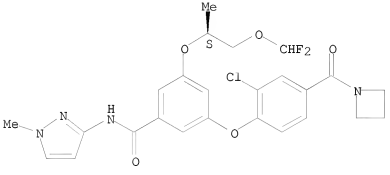
OTHER SOURCE(S): CASREACT 146:142648; MARPAT 146:142648
IT 919492-72-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl benzamide derivs. as glucokinase activators for treatment of diabetes)

RN 919492-72-1 CAPLUS

CN Benzamide, 3-[4-(1-azetidinylcarbonyl)-2-chlorophenoxy]-5-[(1S)-2-(difluoromethoxy)-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



IT 919492-73-2P

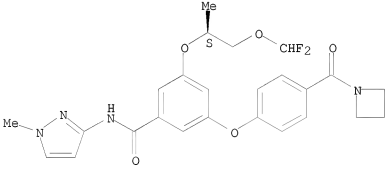
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl benzamide derivs. as glucokinase activators for treatment of diabetes)

RN 919492-73-2 CAPLUS

CN Benzamide, 3-[4-(1-azetidinylcarbonyl)phenoxy]-5-[(1S)-2-(difluoromethoxy)-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

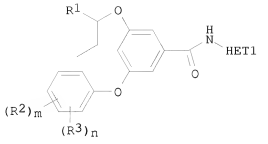
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

GI




I

AB Title compds. [I; R1 = MeOCH2; R2 = CONR4R5, SO2NR4R5, SOpR4, HET2; HET1 = 5-6 membered (substituted) C-linked heteroaryl; HET2 = 4-6 membered, C- or N-linked (substituted) heterocyclyl; R3 = halo, FCH2, F2CH, CF3, Me, MeO, cyano; R4 = H, (substituted) alkyl, HET2; R5 = H, alkyl; R4R5N = HET3; HET3 = (substituted) N-linked, 4-6 membered, saturated or partially unsatd. heterocyclyl; n, p = 0-2; m = 0, 1; provided that when m = 0, then n = 1, 2], were prepared Thus, 3-[4-(azetidin-1-ylcarbonyl)-2-fluorophenoxy]-5-[[(1S)-1-(methoxymethyl)propyl]oxy]-N-(1-methyl-1H-pyrazol-3-yl)benzamide (preparation outlined) activated glucokinase with EC50 = 0.04 μM.

ACCESSION NUMBER: 2006:366940 CAPLUS
DOCUMENT NUMBER: 144:412497
TITLE: Preparation of N-pyrazolyl phenoxybenzamides as glucokinase activators for the treatment of type 2 diabetes.
INVENTOR(S): Johnstone, Craig; McKerrecher, Darren; Pike, Kurt Gordon; Waring, Michael James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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| CN 101039915 | A | 20070919 | CN 2005-80035074 | 20051011 |
| EP 1856056 | A1 | 20071121 | EP 2005-791490 | 20051011 |
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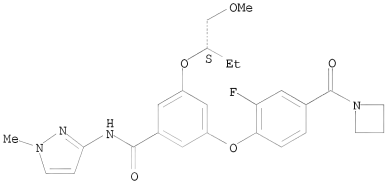
| JP 2008516936 | T | 20080522 | JP 2007-536248 | 20051011 |
| IN 2007DN02527 | A | 20070803 | IN 2007-DN2527 | 20070404 |
| US 20080280874 | A1 | 20081113 | US 2008-665163 | 20080605 |
| PRIORITY APPLN. INFO.: | | | GB 2004-23043 A | 20041016 |
| | | | WO 2005-GB3888 W | 20051011 |

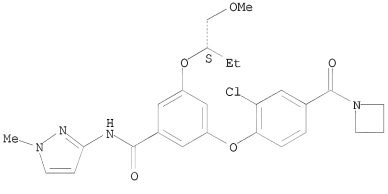
OTHER SOURCE(S): MARPAT 144:412497
IT 883749-41-5P 883749-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of N-pyrazolyl phenoxybenzamides as glucokinase
activators for the treatment of type 2 diabetes)
RN 883749-41-5 CAPLUS
CN Benzamide, 3-[4-(1-azetidinylcarbonyl)-2-fluorophenoxy]-5-[(1S)-1-(methoxymethyl)propoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



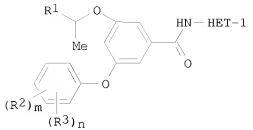

RN 883749-42-6 CAPLUS
CN Benzamide, 3-[4-(1-azetidinylcarbonyl)-2-chlorophenoxy]-5-[(1S)-1-(methoxymethyl)propoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Title compds. I [R1 = methoxymethyl; R2 = carboxamido, sulfonamido, etc.; HET-1 = 5-6 membered C-linked heteroaryl; R3 = halo, fluoromethyl, difluoromethyl, etc.; m = 0-1; n = 0-2] are prepared For instance, 3-[4-[[(2-methoxyethyl)amino]carbonyl]phenoxy]-5-[((1S)-2-methoxy-1-methylethyl)oxy]-N-(thiazol-2-yl)benzamide is prepared by the coupling of 4-[[3-[((1S)-2-methoxy-1-methylethyl]oxy]-5-[(thiazol-2-ylamino)carbonyl]phenyl]oxy]benzoic acid (preparation given) and 2-methoxyethylamine (DMF, DIPEA, HATu). Compds. of the invention generally have an activating activity for glucokinase with an EC50 of < 500 nM. I are useful in the treatment of type 2 diabetes.

ACCESSION NUMBER: 2005:962230 CAPLUS
DOCUMENT NUMBER: 143:266914
TITLE: Preparation of N-heteroaryl aryloxy-substituted benzamides as glucokinase activating agents
INVENTOR(S): Johnstone, Craig; McKerrecher, Darren; Pike, Kurt Gordon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005080359 | A1 | 20050901 | WO 2005-GB545 | 20050215 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2005214132 | A1 | 20050901 | AU 2005-214132 | 20050215 |
| CA 2554310 | A1 | 20050901 | CA 2005-2554310 | 20050215 |
| EP 1718624 | A1 | 20061108 | EP 2005-708360 | 20050215 |
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| CN 1922159 | A | 20070228 | CN 2005-80005262 | 20050215 |
| BR 2005007746 | A | 20070710 | BR 2005-7746 | 20050215 |
| JP 2007523142 | T | 20070816 | JP 2006-553652 | 20050215 |
| NO 2006003452 | A | 20061031 | NO 2006-3452 | 20060726 |
| IN 2006DN04322 | A | 20070427 | IN 2006-DN4322 | 20060727 |

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| US 20080280872 | A1 | 20081113 | US 2006-588334 | | 20060803 |
| MX 2006PA09511 | A | 20061107 | MX 2006-PA9511 | | 20060818 |
| KR 2007007103 | A | 20070112 | KR 2006-719123 | | 20060918 |
| PRIORITY APPLN. INFO.: | | | GB 2004-3593 | A | 20040218 |
| | | | GB 2004-13386 | A | 20040616 |
| | | | GB 2004-23039 | A | 20041016 |
| | | | WO 2005-GB545 | W | 20050215 |

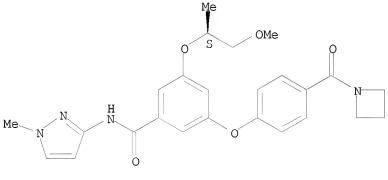
OTHER SOURCE(S): CASREACT 143:266914; MARPAT 143:266914

IT 863504-11-4P 863504-45-4P 863504-46-5P
863504-47-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heteroaryl aryloxy-substituted benzamides as glucokinase activating agents)

RN 863504-11-4 CAPLUS

CN Benzamide, 3-[4-(1-azetidinylcarbonyl)phenoxy]-5-[(1S)-2-methoxy-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

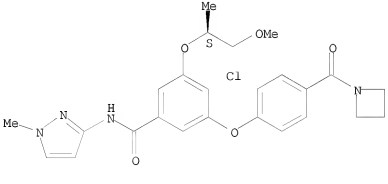
Absolute stereochemistry.



RN 863504-45-4 CAPLUS

CN Benzamide, 3-[4-(1-azetidinylcarbonyl)-2-chlorophenoxy]-5-[(1S)-2-methoxy-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

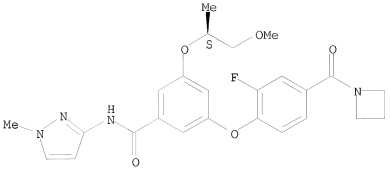
Absolute stereochemistry.



RN 863504-46-5 CAPLUS

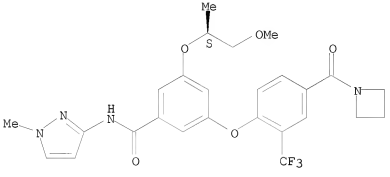
CN Benzamide, 3-[4-(1-azetidinylcarbonyl)-2-fluorophenoxy]-5-[(1S)-2-methoxy-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 863504-47-6 CAPLUS
CN Benzamide, 3-[4-(1-azetidinylcarbonyl)-2-(trifluoromethyl)phenoxy]-5-[(1S)-2-methoxy-1-methylethoxy]-N-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 24.06 | 210.16 |
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| CA SUBSCRIBER PRICE | -3.28 | -3.28 |

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                  substances identified in English-, French-, German-,
                  and Japanese-language basic patents from 2004-present
 NEWS  3  NOV 26  MARPAT enhanced with FSORT command
 NEWS  4  NOV 26  CHEMSAFE now available on STN Easy
 NEWS  5  NOV 26  Two new SET commands increase convenience of STN
                  searching
 NEWS  6  DEC 01  ChemPort single article sales feature unavailable
 NEWS  7  DEC 12  GBFULL now offers single source for full-text
                  coverage of complete UK patent families
 NEWS  8  DEC 17  Fifty-one pharmaceutical ingredients added to PS
 NEWS  9  JAN 06  The retention policy for unread STNmail messages
                  will change in 2009 for STN-Columbus and STN-Tokyo
 NEWS 10  JAN 07  WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                  Classification Data

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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DICTIONARY FILE UPDATES:  20 JAN 2009  HIGHEST RN 1094597-78-0

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http://www.cas.org/support/stngen/stndoc/properties.html

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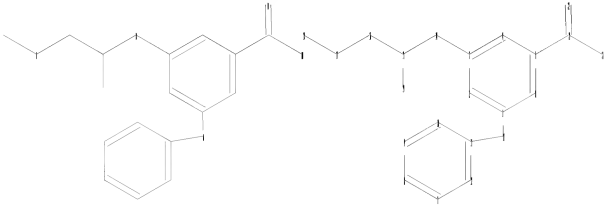

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ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-13  3-14  11-13  14-15  16-17  17-18  20-21  20-22
exact bonds :
5-20  15-16  15-19
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
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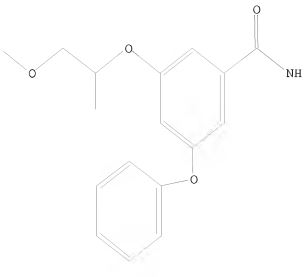


Structure attributes must be viewed using STN Express query preparation.

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| FULL ESTIMATED COST | 185.88 | 186.10 |

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FILE COVERS 1907 - 21 Jan 2009 VOL 150 ISS 4
FILE LAST UPDATED: 20 Jan 2009 (20090120/ED)

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L3           14 L2

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L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
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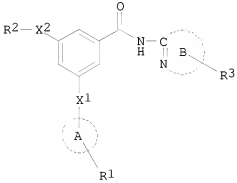


I

AB The title compds. (I) [wherein X1 =O, S, NH; X2 = O, S, CH2; R1 = 1 or 2 groups selected alkylsulfonyl, alkanoyl, lower alkyl, hydroxyalkyl, HO, mono or dialkylcarbamoyl, mono- or dialkylsulfamoyl, alkylthio, alkoxy, alkoxycarbonylamino, alkoxycarbonyl, halo, alkanoylaminoalkyl, alkoxycarbonylaminoalkyl, alkylsulfonylaminoalkyl, cyano, and CF3 on the ring A; R2 = (un)substituted C3-7 cyclic alkyl optionally having one of the carbon atoms (excluding the carbon linked to X2) on the ring replaced by O, NH, N-alkanoyl, or NHCO; R3 = 1 or 2 groups selected from lower alkyl, alkoxy, mono- or dialkylamino, halo, CF3, hydroxyalkyl, alkoxyalkyl, aminoalkyl, alkanoyl, CO2H, alkoxycarbonyl, and cyano on the ring B; the ring A = 6- to 10-membered aryl or 5- to 7-membered heteroaryl; the ring B = mono- or bicyclic heteroaryl wherein the carbon atom bonded to the amide N atom forms C:N together with the ring N atom] or pharmaceutically acceptable salts thereof. These compds. and salts thereof function to activate glucokinase and are useful as preventive and/or therapeutic agents for obesity or diabetes or for the treatment, prevention. and/or onset of type II diabetes. Thus, S-oxidation of 5-[[(1S)-2-(tert-butyldimethylsilyloxy)-1-methylethyl]oxy]-3-(4-methylthiophenoxy)benzoic acid Me ester by m-chloroperbenzoic acid in CHCl3 under ice-cooling, saponification with a mixture of 5 N NaOH and MeOH and acidification with 5% citric acid, amidation with 2-aminothiazole using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole hydrate in CH2Cl2, and finally desilylation with 4 N HCl/dioxane at room temperature for 15 min gave 5-(2-hydroxy-1-methylethoxy)-3-[4-(methanesulfonyl)phenoxy]-N-(thiazol-2-

yl)benzamide (II). II activated recombinant human liver glucokinase with EC50 of 0.08 μM.

ACCESSION NUMBER: 2004:740302 CAPLUS
DOCUMENT NUMBER: 141:260754
TITLE: Preparation of heteroarylcarbamoylbenzene derivatives as glucokinase activators
INVENTOR(S): Iino, Tomoharu; Hashimoto, Noriaki; Nakashima, Hiroshi; Takahashi, Keiji; Nishimura, Teruyuki; Eiki, Junichi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 288 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004076420 | A1 | 20040910 | WO 2004-JP2284 | 20040226 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004215514 | A1 | 20040910 | AU 2004-215514 | 20040226 <-- |
| CA 2516407 | A1 | 20040910 | CA 2004-2516407 | 20040226 <-- |
| EP 1600442 | A1 | 20051130 | EP 2004-714930 | 20040226 |
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| BR 2004007810 | A | 20060301 | BR 2004-7810 | 20040226 |
| CN 1777589 | A | 20060524 | CN 2004-80010759 | 20040226 |
| RU 2330030 | C2 | 20080727 | RU 2005-129729 | 20040226 |
| ZA 2005005982 | A | 20060726 | ZA 2005-5982 | 20050726 |
| IN 2005DN03604 | A | 20070601 | IN 2005-DN3604 | 20050816 |
| US 20060167053 | A1 | 20060727 | US 2005-546962 | 20050825 |
| US 7432287 | B2 | 20081007 | | |
| NO 2005004425 | A | 20050923 | NO 2005-4425 | 20050923 |
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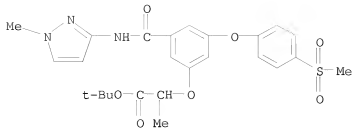
PRIORITY APPLN. INFO.:
JP 2003-49466 A 20030226
JP 2003-400882 A 20031128
JP 2004-31298 A 20040206
WO 2004-JP2284 A 20040226
US 2005-546962 A3 20050825

OTHER SOURCE(S): MARPAT 141:260754

IT 752240-23-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heteroarylcarbamoylbenzene derivs. as glucokinase activators for treatment of diabetes)

RN 752240-23-6 CAPLUS

CN Propanoic acid, 2-[3-[[(1-methyl-1H-pyrazol-3-yl)amino]carbonyl]-5-[4-(methylsulfonyl)phenoxy]phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



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752240-79-2P 752240-95-2P 752241-29-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarbamoylbenzene derivs. as glucokinase activators for treatment of diabetes)
RN 752238-92-9 CAPLUS
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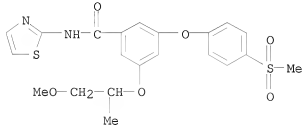

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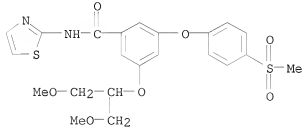

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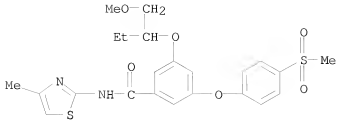

RN 752239-03-5 CAPLUS
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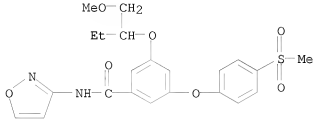

RN 752239-04-6 CAPLUS
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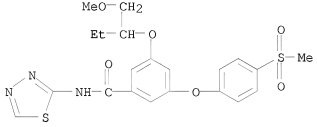
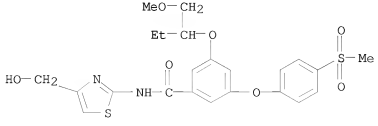


RN 752239-06-8 CAPLUS
CN Benzamide, N-[4-(hydroxymethyl)-2-thiazolyl]-3-[1-(methoxymethyl)propoxy]-5-[4-(methylsulfonyl)phenoxy]- (CA INDEX NAME)



RN 752239-30-8 CAPLUS
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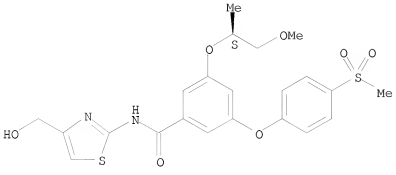
Absolute stereochemistry.



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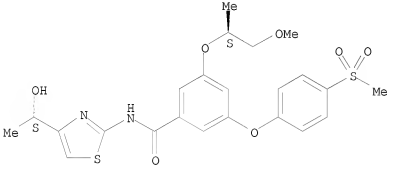
Absolute stereochemistry.



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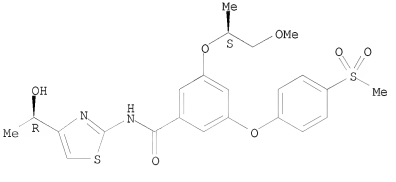
Absolute stereochemistry.



RN 752239-74-0 CAPLUS
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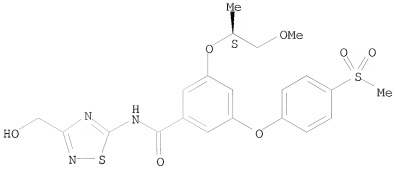
Absolute stereochemistry.



RN 752239-98-8 CAPLUS
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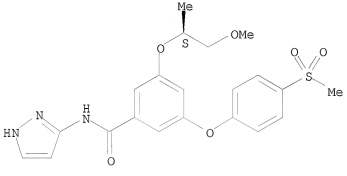
Absolute stereochemistry.



RN 752240-73-6 CAPLUS
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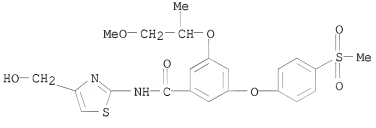

RN 752240-79-2 CAPLUS
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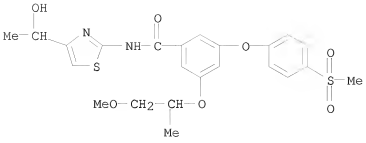

RN 752240-95-2 CAPLUS
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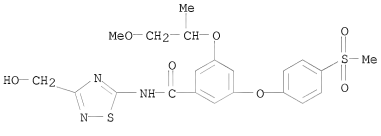

RN 752241-29-5 CAPLUS
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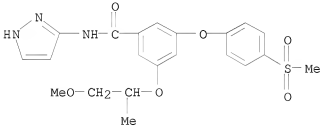

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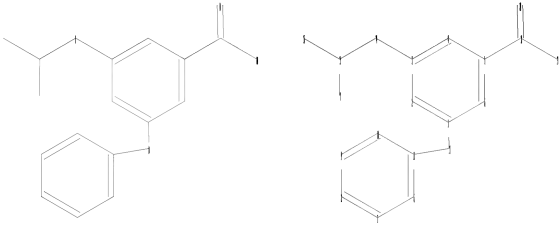

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FILE LAST UPDATED: 20 Jan 2009  (20090120/ED)

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IN   Iino, Tomoharu; Hashimoto, Noriaki; Nakashima, Hiroshi; Takahashi, Keiji;
     Nishimura, Teruyuki; Eiki, Junichi
PA   Banyu Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 288 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
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OS   MARPAT 141:260754
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